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ORAL SUSTAINED RELEASE AGENT

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- European:

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Abstract of JP8151322 (A)

PURPOSE: To obtain an oral sustained release agent comprising microcapsules enabling the sustained release and enteral absorption improvement of a physiologically active substance. **CONSTITUTION:** The microcapsules contain a physiologically active substance having water solubility (water solubility of $\geq 0.1\text{g}/100\text{ml}$, preferably $\geq 1\text{g}/100\text{ml}$, at 20 deg.C) at a pH of ≤ 3 , and a biodegradable polymer. The polymer is preferably a polyfatty acid ester, especially lactic acid/glycolic acid copolymer or hydroxybutyric acid/glycolic acid copolymer, which has preferably a weight- average mol.wt. of 2000-8000. The physiologically active substance is slightly soluble in water at a pH of 6-8, namely has a water solubility of $\leq 0.01\text{g}/100\text{ml}$, especially $\leq 0.001\text{g}/100\text{ml}$, at 20 deg.C, and is preferably imidazole, triazole, their condensed ring compound, etc. The microcapsules are obtained by dissolving the physiologically active substance and the polymer in an organic solvent, and subsequently subjecting the solution to a drying-in-water treatment or a spray-drying treatment.

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